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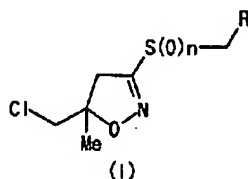
(54) 【発明の名称】 除草性イソオキサゾリン誘導体

(57) 【要約】

【課題】優れた除草活性を有する新規な2-イソオキサゾリン誘導体を見出すこと。

【解決手段】一般式 (I)

【化1】



[R=フリル基、チエニル基、イソオキサゾリル基等、  
n=0、1、2] で表わされる化合物。

Japanese (PDF)

File Wrapper Information

ULL CONTENTS CLAIM + DETAILED DESCRIPTION  
ECHNICAL FIELD PRIOR ART EFFECT OF THE INVENTION  
ECHNICAL PROBLEM MEANS EXAMPLE

[translation done.]

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**Notes:**

Untranslatable words are replaced with asterisks (\*\*\*\*).  
 Texts in the figures are not translated and shown as it is.

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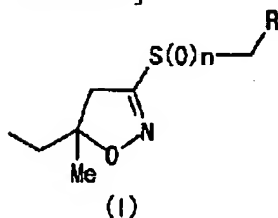
Dictionary: Last updated 10/12/2007 / Priority: 1. Biotechnology / 2. Chemistry / 3. JIS (Japan Industrial Standards) term

ULL CONTENTS

**Claim(s)]**

**Claim 1] Following general formula (I)**

**Formula 1]**



among [type A pyridyl machine, a furil machine, a thienyl group, an isoxazolyl machine, a thiazolyl machine, a thiadiazolyl machine, a benzothiazolyl machine, or a benzofuril machine (the pyridyl machine concerned --) a furil machine, a thienyl group, an isoxazolyl machine, a thiazolyl machine, a thiadiazolyl machine, a benzothiazolyl machine, and benzofuril machine are replaced by 1 [ same or different ] or two same or different substituents which are chosen from the following substituent group a -- \*\*\*\* -- it is shown and n shows 0, 1, or 2.

a) Substituent group) The compound expressed with halogen atom, low-grade alkyl-group, and lower alkoxy group].

**Claim 2] The compound according to claim 1 whose n is 2.**

**Claim 3] The compound according to claim 1 or 2 whose substituent**

[Translation done.]

groups are a chlorine atom, a methyl group, and a methoxy group.  
[Claim 4] The compound according to claim 1 to 3 whose R is a furil  
achine, a thienyl group, or an iso oxazolyl machine.

#### Detailed Description of the Invention]

[001]

[Field of the Invention] This invention relates to the new iso oxazoline  
ductor which has the outstanding weeding-out activity.

[002]

[Description of the Prior Art] The compound which has the 2-iso  
oxazoline frame which has weeding-out activity is indicated to  
P334120A1 and EP514987A1 until now.

[003] However, a compound given in EP334120A1 is a compound whose  
substituents of the 3rd place of a 2-iso oxazoline ring are alkyl, cycloalkyl,  
substitution phenyl, 5 members, and 6 member heterocycle. Structure  
completely differs from the application-concerned compound whose  
substituents of the 3rd place of a 2-iso oxazoline ring are a sulfide,  
sulfoxide, and sulfone. Moreover, the substituent of the 3rd place of a 2-  
iso oxazoline ring is only the compound which is a substitution phenyl  
group, and a compound given in EP514987A1 completely differs in  
structure from an application-concerned compound too.

[004] Furthermore, although the compound which has a 2-iso oxazoline  
ring is indicated to JP,H5-105672,A, the substituent of the 5th place of an  
iso oxazoline ring is the compound which is a cyano group, and all of  
these compounds completely differ on this point application-concerned  
compound and structure. Furthermore, to the JP,H5-105672,A concerned,  
weeding-out activity is not indicated at all.

[005]

[Problem(s) to be Solved by the Invention] The known compound found  
it having the weeding-out activity which differed in structure and which  
was excellent in the new 2-iso oxazoline inductor, and this invention  
person etc. completed this invention, as a result of continuing for years  
and inquiring wholeheartedly about synthesis and biological activity of the  
ductor which has a 2-iso oxazoline ring.

[006]

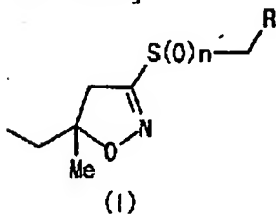
[Elements of the Invention]

[007]

[Means for Solving the Problem] This invention is a following general  
formula (I).

[008]

[Formula 2]



009] R among [type A pyridyl machine, a furil machine, a thienyl group, an iso oxazolyl machine, a thiazolyl machine, a thiadiazolyl machine, a benzothiazolyl machine, or a benzofuril machine (the pyridyl machine concerned --) a furil machine, a thienyl group, an iso oxazolyl machine, a thiazolyl machine, a thiadiazolyl machine, a benzothiazolyl machine, and benzofuril machine are replaced by 1 [ same or different ] or two same or different substituents which are chosen from the following substituent group a -- \*\*\*\* -- it is shown and n shows 0, 1, or 2.

010] ((a) Substituent group) It is the compound expressed with halogen atom, low-grade alkyl-group, and lower alkoxy group].

011] In an application concerned, "halogen atoms" is a fluorine atom, a chlorine atom, a bromine atom, and iodine atom. In the substituent group it is a fluorine atom and a chlorine atom suitably, and is a chlorine atom still more suitably.

012] In an application concerned, with a "low-grade alkyl group", for example Methyl, ethyl, n-propyl, Isopropyl, n-butyl, isobutyl, s-butyl, t-butyl, n-pentyl, isopentyl, 2-methylbutyl, neopentyl one, 1-ethyl propyl, n-hexyl, 4-methyl pentyl, 3-methyl pentyl, 2-methyl pentyl, They are the straight chain of 1 to 6 carbon numbers like 1-methyl pentyl, 3, and 3-methyl butyl, 2, and 2-dimethyl butyl, 1, and 1-dimethyl butyl, 1, 2-methyl butyl, 1, 3-dimethyl butyl, 2, 3-dimethyl butyl, and 2-ethyl butyl, or a branched chain alkyl group. In the substituent group a, it is the straight chain of 1 to 3 carbon numbers, or a branched chain alkyl group suitably, and is a methyl group still more suitably.

013] In an application concerned, with a "lower alkoxy group", for example Methoxy and ethoxy \*\* n-propoxy, isopropoxy, n-butoxy, isobutoxy, s-butoxy, t-butoxy, n-pentyloxy, isopentyloxy, 2-methyl butoxy, neopentyl oxy- \*\* 1-ethyl propoxy, n-hexyloxy, 4-methyl pentyloxy, 3-ethyl pentyloxy, 2-methyl pentyloxy, 1-methyl pentyloxy, 3, and 3-methyl butoxy, 2, and 2-dimethyl butoxy, They are the straight chain of 1 to 6 carbon numbers like 1 and 1-dimethyl butoxy, 1, 2-dimethyl butoxy, 3-dimethyl butoxy, 2, - dimethyl butoxy, and 2-ethyl butoxy, or a branched chain alkoxy group. In the substituent group a, it is the straight chain of 1 to 3 carbon numbers, or a branched chain alkoxy group, and is a ethoxy group most suitably.

014] The compound (I) of this invention has an asymmetric carbon atom. In the invention in this application, the mixture in each optically active substance itself and those arbitrary rates is also included.

015] The compound (I) of this invention can be used as a salt, and those salts are also included by this invention.

016] [ use / it / as agricultural chemicals ] as such a salt Or if it can be used as an intermediate product of medicine and agricultural chemicals, there will be no limitation in particular, but suitably Sodium salt, an alkali metal salt like potassium salt; Calcium salt, Metal salts, such as alkaline earth metal salt like magnesium salt; Guanidine salt, A triethylamine salt, an organic base salt like a dicyclohexylamine salt; A hydrofluoric acid salt, A hydrochloride, a hydrobromic acid salt, a halide acid salt like a hydroiodic acid salt; Nitrate, A perchlorate, a sulphate, an inorganic acid salt like a phosphate; Methanesulfon acid chloride, Trifluoro



ethanesulfon acid chloride, low-grade alkane sulfonate like an ethanesulfonic acid salt; A benzenesulfonic acid salt, Aryl sulfonate like a p-toluenesulfonic acid salt; amino acid salt like organic acid salt; and glutamate like fumarate, succinate, citrate, a tartrate, an oxalate, and aspartate, and an aspartic acid salt can be mentioned.

[017] The hydrate of this invention compound is also included by the invention in this application.

[018] In a general formula (I), suitably, R is a furil machine, a thienyl group, an iso oxazolyl machine, a thiazolyl machine, a thiadiazolyl machine, a benzothiazolyl machine, or a benzofuril machine, and is a furil machine, a thienyl group, or an iso oxazolyl machine still more suitably.

[019] In R, a pyridyl machine is 2-pyridyl machine or 3-pyridyl machine suitably.

[020] In R, a furil machine is 2-furil machine suitably.

[021] In R, a thienyl group is a 2-thienyl group suitably.

[022] In R, an iso oxazolyl machine is a 4-iso oxazolyl machine suitably.

[023] In R, a thiazolyl machine is 5-thiazolyl machine suitably.

[024] In R, a benzothiazolyl machine is 2-benzothiazolyl machine suitably.

[025] In R, a benzofuril machine is a 2-benzofuril machine suitably.

[026] In a general formula (I), n is 2 suitably.

[027] The substituent groups a are a chlorine atom, a methyl group, and a methoxy group suitably.

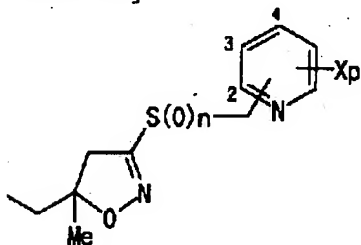
[028] Although the representation compound of this invention is illustrated to the following tables 1-9, this invention is not limited to these compounds.

[029] Me shows a methyl group among front, Xp shows the substituent on R, and a number shows the substitution position on R.

[030] In addition, what that it is in the column of Xp with "-" did not place is shown among Table 1-9.

[031]

Formula 3]

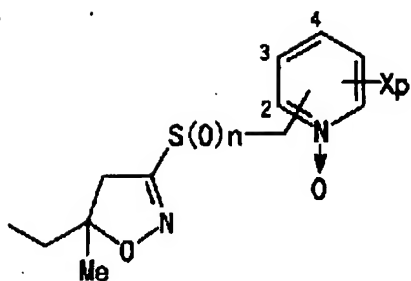


[032]

Table 1]

Compound number	The substitution position
pn of R	1.12-0 1.22-2 1.33-0 1.43-2 1.54-0
6 4 - 2	[0033]

Formula 4]



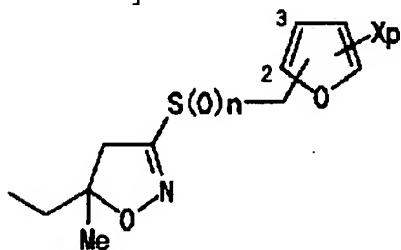
[034]

Table 2]

----- Compound number The substitution position  
pn of R ----- 2.12-2 2.23- 2 2.3 4 - 2

----- [0035]

Formula 5]

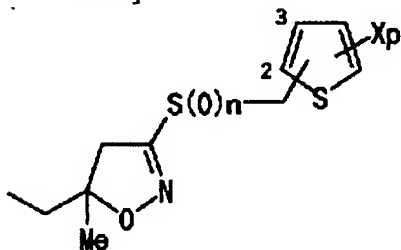


[036]

Table 3]

----- Compound number The substitution position  
pn of R ----- 3.12-0 3.223-Me0 3.324-Me0 3.425-  
[e0 3.523-OMe0 3.6 2 4-OMe 0 3.7 2 5-OMe 0 3.82-2 3.923-Me2  
1024-Me2 3.1125-Me2 3.1223-OMe2 3.1324-OMe2 3.1425-OMe 2 3.15  
- 0 3.16 3 2-Me0 3.1734-Me0 3.1835-Me0 3.1932-OMe0 3.2034-OMe0  
2135-OMe0 3.223-2 3.233 2-Me 2 3.24 3 4-Me 2 3.25 35-Me2 3.2632-  
Me2 3.2734-OMe 2 3.28 3 5-OMe 2 ----- [0037]

Formula 6]

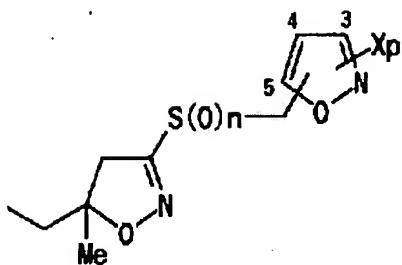


[038]

Table 4]

----- Compound number The substitution position  
pn of R ----- 4.12-0 4.223-Me0 4.324-Me0 4.425-  
[e0 4.523-OMe0 4.6 2 4-OMe 0 4.7 2 5-OMe 0 4.82-2 4.923-Me2  
1024-Me2 4.1125-Me2 4.1223-OMe2 4.1324-OMe2 4.1425-OMe 2 4.15  
- 0 4.16 3 2-Me0 4.1734-Me0 4.1835-Me0 4.1932-OMe0 4.2034-OMe0  
2135-OMe0 4.223-2 4.233 2-Me 2 4.24 3 4-Me 2 4.25 35-Me2 4.2632-  
Me2 4.2734-OMe 2 4.28 3 5-OMe 2 ----- [0039]

Formula 7]



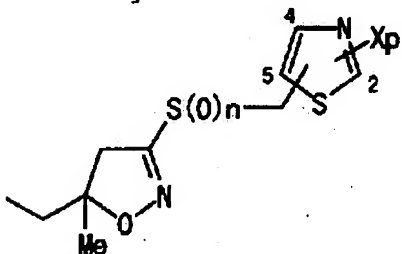
[040]

Table 5]

----- Compound number The substitution position  
 pn of R ----- 5.13-0 5.234-Me0 5.335-Me0 5.434-  
 Me0 5.535-OMe0 5.6 3 4-Cl 0 5.7 3 5-Cl 0 5.83-2 5.934-Me2 5.1035-  
 le2 5.1134-OMe2 5.1235-OMe2 5.1334-Cl2 5.1435-Cl 2 5.15 4 - 0 5.16  
 3-Me0 5.1745-Me0 5.1843 and 5-Me20 5.1943-OMe0 5.2045-OMe0  
 2143-Me, 5-OMe0 5.2243-OMe, 5-Me0 5.234 3-Cl 0 5.24 4 5-Cl 0 5.25  
 3, 5-Cl20 5.264-2 5.2743-Me2 5.2845-Me2 5.2943, 5-Me22 5.3043-  
 Me2 5.3145-OMe2 5.32 4 3-Me,5-OMe 2 5.33 4 3-OMe,5-Me 2 5.3443-  
 l2 5.3545-Cl2 5.3643, 5-Cl22 5.375-0 5.3853-Me0 5.3954-Me0 5.4053-  
 Me 0 5.41 5 4-OMe 0 5.42 5 3-Cl0 5.4354-Cl0 5.445-2 5.4553-Me2  
 4654-Me2 5.4753-OMe2 5.48 " \*\* 4-OMe2 5.495 3-Cl 2 5.50 5 4-Cl 2

----- [0041]

Formula 8]

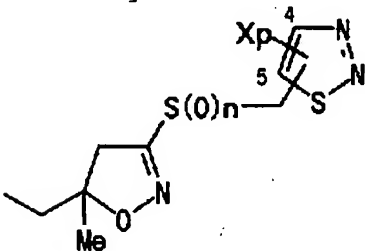


[042]

Table 6]

----- Compound number The substitution position  
 pn of R ----- 6.12-0 6.224-Me0 6.325-Me0 6.424,  
 Me20 6.524-OMe0 6.6 2 5-OMe 0 6.7 2 - 2 6.824-Me2 6.925-Me2  
 1024, 5-Me22 6.1124-OMe2 6.1225-OMe2 6.134-0 6.1442-Me 0 6.15 4  
 Me 0 6.16 4 2, 5-Me20 6.1742-OMe0 6.1845-OMe0 6.194-2 6.2042-  
 le2 6.2145-Me2 6.2242, 5-Me22 6.234 2-OMe 2 6.24 4 5-OMe 2 6.25 5-  
 6.2652-Me0 6.2754-Me0 6.2852, 4-Me20 6.2952-OMe0 6.3054-OMe0  
 315-2 6.32 5 2-Me 2 6.33 5 4-Me 2 6.3452, 4-Me22 6.3552-OMe 2 6.36  
 4-OMe 2 ----- [0043]

Formula 9]



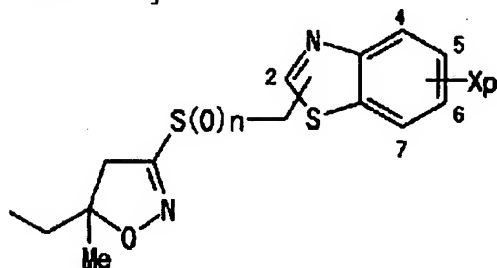
[044]



Table 7]

----- Compound number The substitution position Xn  
 R ----- 7.14-0 7.245-Me0 7.345-OMe0 7.445-Cl0  
 54-2 7.6 4 5-Me 2 7.7 4 5-OMe 2 7.845-Cl2 7.95-0 7.1054-Me0 7.1154-  
 Me0 7.1254-Cl0 7.135-2 7.1454-Me 2 7.15 5 4-OMe 2 7.16 5 4-Cl 2  
 ----- [0045]

Formula 10]

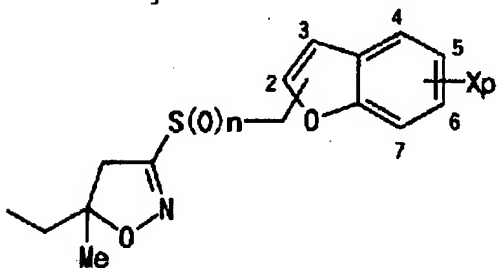


[046]

Table 8]

----- Compound number The substitution position  
 pn of R ----- 8.12-0 8.224-Me0 8.325-Me0 8.426-  
 Me0 8.527-Me0 8.6 2 4-OMe 0 8.7 2 5-OMe 0 8.826-OMe0 8.927-OMe0  
 1024-Cl0 8.1125-Cl0 8.1226-Cl0 8.1327-Cl0 8.142- 2 8.15 2 4-Me 2  
 16 2 5-Me2 8.1726-Me2 8.1827-Me2 8.1924-OMe2 8.2025-OMe2  
 2126-OMe2 8.2227-OMe2 8.232 4-Cl 2 8.24 2 5-Cl 2 8.25 26-Cl 2 8.26  
 7-Cl 2 ----- [0047]

Formula 11]



[048]

Table 9]

----- Compound number The substitution position  
 pn of R ----- 9.12-0 9.223-Me0 9.324-Me0 9.42 5-  
 Me0 9.526-Me0 9.6 2 7-Me 0 9.7 2 3-OMe 0 9.8 24-OMe0 9.925-OMe0  
 1026-OMe0 9.1127-OMe0 9.1223-Cl0 9.1324-Cl0 9.1425-Cl0 9.15 2 6-  
 Cl 0 9.16 2 7-Cl 0 9.172-2 9.1823-Me2 9.1924-Me2 9.2025-Me2 9.2126-  
 Me2 9.2227-Me2 9.2323-OMe 2 9.24 2 4-OMe 2 9.25 2 5-OMe2 9.2626-  
 Me2 9.2727-OMe2 9.2823-Cl2 9.2924-Cl2 9.3025-Cl2 9.3126-Cl2 9.32  
 7-Cl As Inside of Illustration Compound of 2 -----

above, and Suitable Thing, 1. The compound of 2, 1.4, 3.8, 3.22, 3.23, 4.8,  
 29, 5.33, 6.20, 6.31, 7.13, and 9.17 can be mentioned.

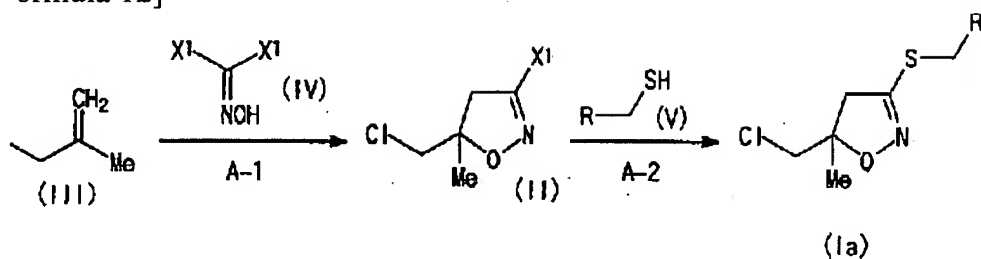
[049] Furthermore, as a suitable thing, the compound of 3.8, 4.8, 5.29,  
 and 5.33 can be mentioned.

[050]

[Embodiment of the Invention] The iso oxazoline inductor which has the  
 general formula (I) of this invention can be manufactured by the method  
 indicating below.



051] A process [0052]  
 formula 12]



053] The inside of the above-mentioned process and R show the above  
 and this meaning, and are X<sup>1</sup>. A halogen atom is shown. X<sup>1</sup> -- it is a  
 chlorine atom suitably.

054] A process is the way n manufactures the compound (Ia) which is 0  
 a general formula (I).

055] A-1 process is a process which manufactures the compound which  
 is the general formula (II) which the halogen atom replaced by the 3rd  
 ace of the iso oxazoline ring, and is attained by making the compound  
 hich has a general formula (III) react with the compound which has a  
 eneral formula (IV) in an inactive solvent and under base existence.

056] A compound (IV), for example In addition, Liebig Anna Wren  
 EMI, It is a compound the 985th page and given in 1989 (Liebig's  
 Annalen der Chemie 985 (1989)), and is manufactured according to a  
 method given [concerned] in literature, using a commercial thing.

057] If it is the base of the strength which generates nitrile oxide from a  
 compound (IV) as a base used for A-1 process, although there is no  
 limitation in particular, suitably An alkali metal bicarbonate like sodium  
 carbonate and potassium hydrogencarbonate; Sodium hydroxide, Alkali  
 metal hydroxide like a potassium hydroxide; A calcium hydroxide, An  
 alkaline earth metal hydroxide like magnesium hydroxide; Sodium  
 carbonate, Alkali metal acetate like alkali-metal-carbonate; sodium acetate  
 like potassium carbonate, and potassium acetate; Sodium fluoride, An  
 alkali metal fluorination salt like potassium fluoride; Triethylamine, Third  
 class low-grade alkylamine; 1 like ethyl diisopropylamine and  
 isobutylamine, 8-diazabicyclo [5.4.0] undecane 7-EN (DBU), The third  
 class alicyclic amines like 1 and 4-diazabicyclo [2.2.2] octane (DABCO)  
 can be mentioned.

058] If a reaction is not checked but starting material is dissolved to  
 some extent as a solvent used, although there is no limitation in particular,  
 suitably ETHEREN glycol wood ether, ethylene glycol DIETERU ether,  
 diethylether, dioxane, ether like tetrahydrofuran; A methylene chloride,  
 chloroform, a carbon tetrachloride, halogenated hydrocarbon; benzene  
 like dichloroethane, aromatic hydrocarbon; ethyl acetate like toluene, the  
 partially aromatic solvent of the nitrile; above-mentioned organic solvent  
 and water like acetic acid ester; acetonitrile like butyl acetate; water can be  
 mentioned.

059] although reaction temperature and reaction time change with kinds  
 of a raw material compound, a solvent, and base -- reaction temperature --  
 usually -- 0 degree C -- or -- 150 degrees C is 15 degrees C or 80 degrees  
 suitably -- reaction time -- usually -- 15 minutes -- or it is 30 minutes or

hours suitably for 24 hours.

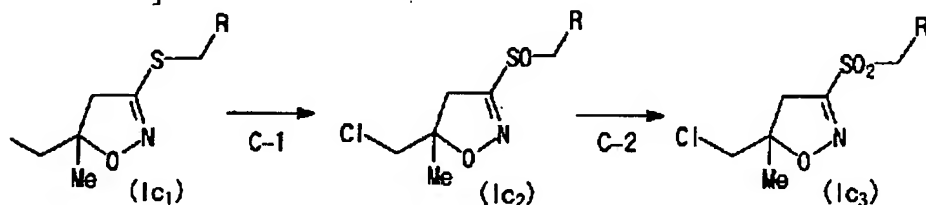
[0060] A-2 process is a process which manufactures the compound which is a general formula (Ia), and is attained among an inactive solvent by making it react using a base with the compound which has the general formula (II) which manufactured the mercaptan compound which has a general formula (V) according to A-1 process.

[0061] If it is the base of the strength from which the proton of thiols is absorbed preferentially as a base used, although there is no limitation in particular, suitably Sodium hydride, potassium hydride, an alkali metal hydride like lithium hydride; Sodium methoxide; Sodium ethoxide, alkali metal alkoxide like potassium t-butoxide; Sodium amide, Alkali metal amide like lithium isopropyl amide; Triethylamine, Third class low-grade kylamine; 1 like ethyl diisopropylamine and tributylamine, 8-azabicyclo [5.4.0] undecane 7-EN (DBU), The third class alicyclic amines like 1 and 4-diazabicyclo [2.2.2] octane (DABCO) can be mentioned.

[0062] If a reaction is not checked but starting material is dissolved to some extent as a solvent used, although there is no limitation in particular, suitably Dioxane, ether like tetrahydrofuran; A methylene chloride, chloroform, a carbon tetrachloride, and the halogenated hydrocarbon like chloroethane; Benzene, Aromatic hydrocarbon; N like toluene, N-methylacetamide, N, N dimethylformamide and the amide like N-methylpyrrolidinone; Methanol, Ethanol, propanol, isopropanol, a butanol, isobutanol, Alcohols; acetone like t-butanol, and the nitrile like ketone; acetonitrile like 2-butanone; sulfoxide [ like dimethyl sulfoxide ]; and these partially aromatic solvents can be mentioned.

[0063] although reaction temperature and reaction time change with kinds of a raw material compound, a solvent, and base -- reaction temperature -- usually -- 0 degree C -- or -- 150 degrees C is 0 degree C or 80 degrees C suitably -- reaction time -- usually -- 15 minutes -- or it is 30 minutes or 8 hours suitably for 24 hours.

[0064] C process [0065]  
[Formula 13]



[0066] R and m show the above and this meaning among the above-mentioned process.

[0067] C process is the method of manufacturing the compound (Ic2) whose n is 1, and the compound (Ic3) whose n is 2 in a general formula (I) a general formula (I).

[0068] C-1 process and C-2 process are processes which manufacture the compound which has a general formula (Ic2), and the compound which is a general formula (Ic3), and are attained among an inactive solvent using an oxidizing agent by oxidizing the compound (Ic1) whose n is 0 in general formula (I).

[0069] A compound (Ic1) is manufactured by the above-mentioned A

process.

[070] If it is the oxidizing agent of the strength which can oxidize in sulfides and sulfoxide as an oxidizing agent used, although there is no limitation in particular, suitably Organic peroxide like m-chloro perbenzoic acid, performic acid, and peracetic acid; an inorganic peroxide like hydrogen peroxide, potassium permanganate, and periodic acid sodium can be mentioned.

[071] Although 1.0 to 1.1Eq of C-1 and C-2 processes are used to a substrate, an oxidizing agent is attained by using 2.0 to 3.0Eq of oxidizing agents to a compound (Ic1), in order to obtain sulfone (Ic3) directly, without isolating in sulfoxide (Ic2).

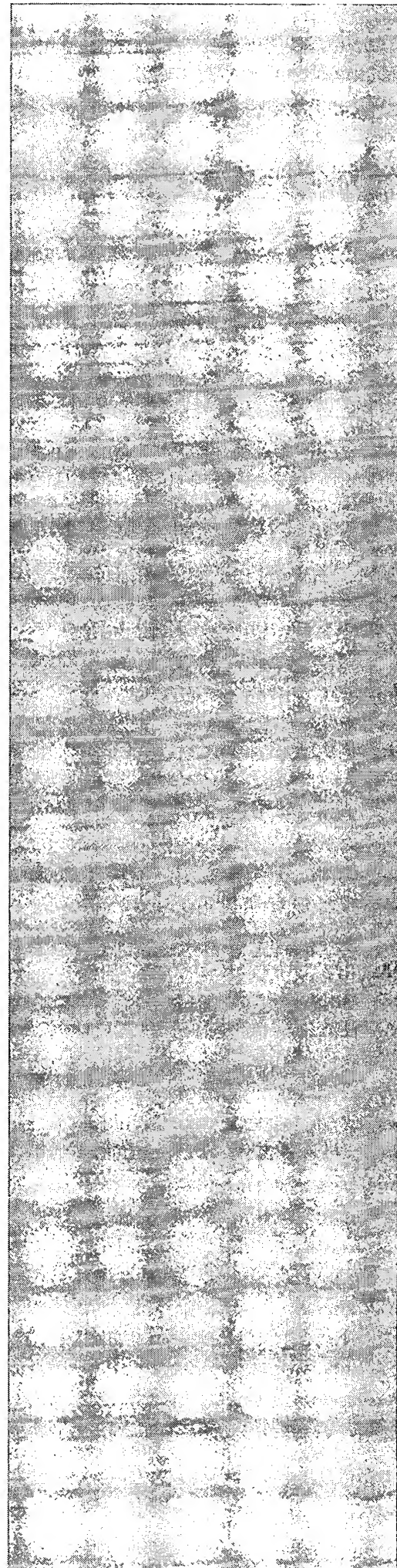
[072] If a reaction is not checked but starting material is dissolved to some extent as a solvent used, although there is no limitation in particular, suitably A methylene chloride, chloroform, a carbon tetrachloride, and the hydrogenated hydrocarbon like dichloroethane; Tetrahydrofuran, Dioxane, ether; acetone like diethylether, and the ketone like 2-butanone; Methanol, nitrile; acetic acid; water like amide; acetonitrile like alcohols; N like ethanol and t-butanol, N-dimethylacetamide, N, N dimethylformamide, and N-methyl 2-pyrrolidinone; the partially aromatic solvent of water and the above-mentioned organic solvent can be mentioned.

[073] [ reaction time ] although reaction temperature and reaction time range with kinds of a raw material compound, a solvent, an oxidizing agent, and purpose compound When an object is a compound (Ic2), [ reaction temperature ] usually, -20 degrees C or the case where it is -5 degrees C or 10 degrees C suitably, and 50 degrees C of objects are compounds (Ic3) -- reaction temperature -- usually -- 0 degree C -- or it is 10 degrees C or 60 degrees C suitably, and 100 degrees C of reaction time is usually for 30 minutes or one day suitably for 15 minutes or two days.

[074] in addition, a compound (Ic2) -- in or (Ic3), when R has the heterocyclic structure containing a nitrogen atom or a sulfur atom, in C process, the nitrogen atom or sulfur atom within oxidation of sulfides or sulfoxide, simultaneously heterocycle may also oxidize

[075] The purpose compound of each process is extractable from a reaction mixture after each above-mentioned end of a reaction process according to a conventional method. For example, when a reaction mixture is neutralized suitably and an impurity exists, after filtration moves, the organic solvent with which it does not mix with water is added, and it is obtained by distilling off a solvent after a flush. If the obtained purpose compound is required, a conventional method, for example, recrystallization, reprecipitation, or chromatography can refine it further.

[076] It mixes with other auxiliary materials a carrier and if needed, and the compound of this invention is used, adjusting to the formulation usually used as an herbicide, for example, dust formulation, a coarse powder agent, a pellet, a granule, wettable powder, water soluble chemicals, an emulsion, liquid medicine, etc. A carrier here means the synthesis, the natural inorganic matter, or the organic substance mixed in a herbicide in the attainment nature to the plant of an active substance compound in order to make easy storage of help or an active substance,





transportation, or handling.

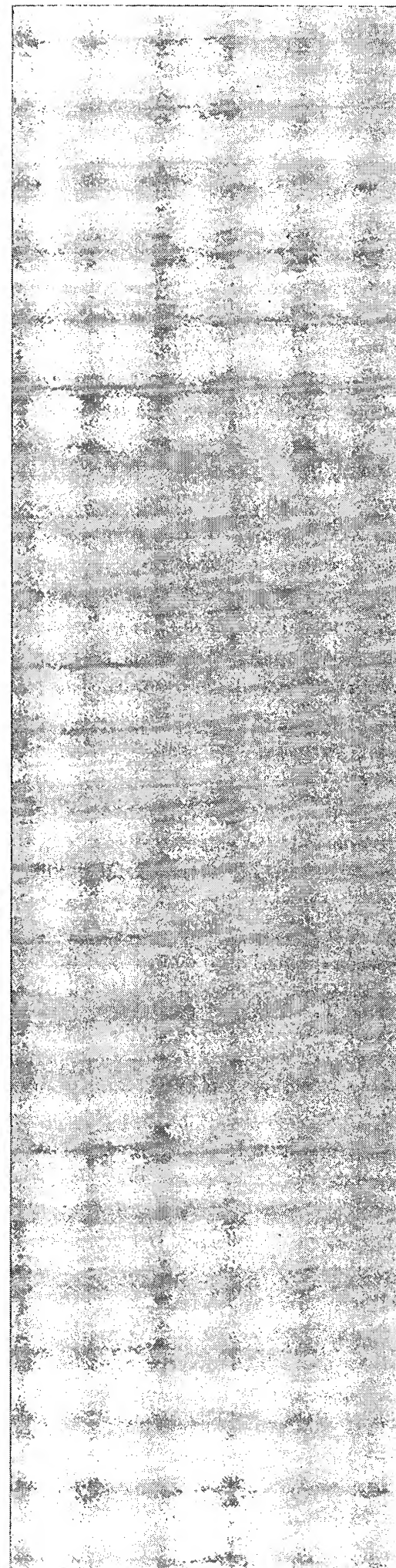
[077] As a suitable solid support, for example A kaolinite group, a montmorillonite group, Clay, the talc, mica, leaf agalmatolite which are presented with an attapulgite group etc., A pumicite, a vermiculite, a psidium fibrosum, a dolomite, diatomaceous earth, magnesium lime, phosphorus lime, a zeolite, a silicic acid anhydride, synthetic calcium silicate, kaoline, Mineral matter, such as a bentonite and calcium carbonate, soybean flour, tobacco powder, walnut powder, Vegetable organic substances, such as wheat flour, wood flour, starch, and a crystalline cellulose, a cumarone resin, Waxes or urea, such as synthesis of petroleum resin, an alkyd resin, a polyvinyl chloride, a polyalkylene glycol, ketone resin, rosin ester, copal gum, dammar gum, etc. or a natural high molecular compound, Kalna Barrow, a paraffin low, and beeswax, etc. can be mentioned.

[078] As a suitable liquid carrier, for example Kerosene, a mineral oil, a kerosene oil, Paraffin series, such as white oil, or naphthene system hydrocarbon, benzene, Aromatic hydrocarbon, such as toluene, xylene, ethylbenzene, cumene, and methylnaphthalene, A carbon tetrachloride, chloroform, trichloroethylene, mono-chlorobenzene, Ether, such as chlorinated hydrocarbons, such as KURORU toluene, dioxane, and tetrahydrofuran, Acetone, methyl ethyl ketone, diisobutyl ketone, cyclohexanone, Ketone, such as acetophenone and an isophorone, ethyl acetate, amyl acetate, Ethylene glycol acetate, diethylene glycol acetate, Ester, such as dibutyl maleate and diethyl succinate, methanol, n-ALKANOL, ethylene glycol, a DIETEREN glycol, cyclohexanol, Alcohols, such as benzyl alcohol, ethylene glycol ethyl ether, A polar solvent or water, such as ether alcohol, such as ethylene glycol phenyl ether, diethylene glycol ethyl ether, and diethylene glycol butyl ether, methylformamide, and dimethyl sulfoxide, etc. can be mentioned.

[079] Ionicity or nonionic are sufficient as the surface-active agent used for the purpose, such as emulsification, distribution, humidity, a inhibition, combination, collapsibility regulation, active substance stabilization, a fluid improvement, rust prevention, and promotion of absorption to a plant.

[080] As a suitable nonionic surfactant, for example Cane sugar ester of fatty acid, The ethyleneoxide polymerization adduct of high-class fatty alcohol, such as lauryl alcohol, a stearyl alcohol, and oleyl alcohol, The ethyleneoxide polymerization adduct of alkylphenols, such as iso octyl phenol and nonyl phenol, The ethyleneoxide polymerization adduct of alkyl naphthols, such as a butyl naphthol and an octyl naphthol, The ethyleneoxide polymerization adduct of higher fatty acids, such as a palmitic acid, stearic acid, and oleic acid, Mono-\*\*\*\*\*, such as stearyl phosphoric acid dilauryl phosphoric acid, the ethyleneoxide polymerization adduct of dialkyl phosphoric acid, The copolymer of the higher fatty acid ester, its ethyleneoxide polymerization adduct and ethyleneoxide, and the propylene oxide of polyhydric alcohols, such as an ethyleneoxide polymerization adduct of high-class fatty amines, such as dodecyl amine and octadecanamide, and sorbitan, etc. can be mentioned.

[081] As a suitable anion nature surface-active agent, for example A





sodium lauryl sulfate, Alkyl-sulfuric-acid ester salts, such as oleyl alcohol sulfate amine salt, Sulfo succinic acid dioctyl ester sodium, sodium oleate, alkylaryl sulfonates, such as fatty acid salt, such as sodium stearate, isopropyl sodium naphthalenesulfonate, methylene screw sodium naphthalenesulfonate, lignin-sulfonic-acid sodium, and sodium dodecylbenzenesulfonate, etc. can be mentioned.

[082] As a suitable cationic surfactant, high-class fatty amines, quaternary ammonium salt, alkyl pyridinium salts, etc. can be mentioned, for example.

[083] [ furthermore, the purpose which improves the character of a tablet of the herbicide of this invention, and raises the living thing effect to it ] as other ingredients, for example Gelatin, gum arabic, casein, albumin, thixotropy agents, such as high molecular compounds, such as glue, sodium alginate, a polyvinyl alcohol, carboxymethyl cellulose, a methylcellulose, and a hydroxymethyl cellulose, sodium polyphosphate, and a bentonite, and other auxiliary materials may be contained.

[084] In consideration of the drug design application scene of a tablet, an above-mentioned carrier and above-mentioned various auxiliary materials are together put independently according to the purpose, respectively, and are used suitably.

[085] dust formulation -- an active substance compound -- usually -- 2 -- or 10 weight part content is carried out and the remainder is a solid support.

[086] wettable powder -- an active substance -- usually -- 10 -- or 80 weight part content is carried out, the remainders are a solid support and a distributed wetting agent, and a protective colloid agent, a thixotropy agent, an antifoam, etc. are added if needed.

[087] a pellet -- an active substance compound -- usually -- 0.1 -- or 10 weight part content is carried out and most of the remainder is a solid support. or the active substance compound is mixed by a solid support and homogeneity -- or -- \*\*\*\*\* -- it adheres or adsorbs uniformly on the surface of the carrier, and a grained path is about 0.2 or about 1.5mm.

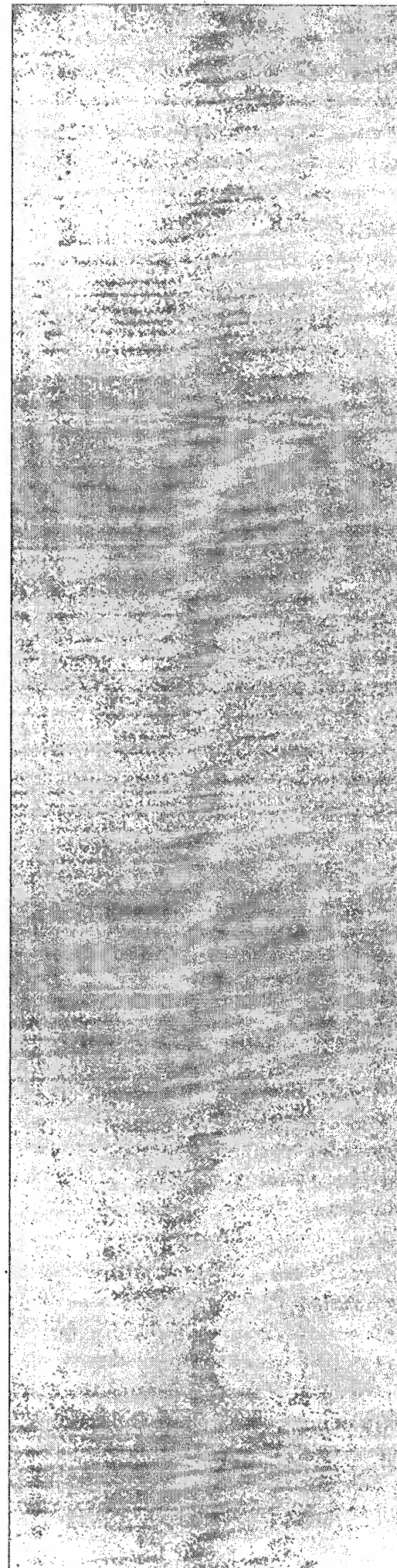
[088] an emulsion -- an active substance -- usually -- 1 -- or 50 weight part content is carried out, the emulsion of about 5 or 20 weight parts is contained in this, the remainder is a liquid carrier and a rust-proofer is added if needed.

[089] Thus, when the germination front stirrup of weeds, for example, carries out soil treatment of the compound of this invention adjusted to various drug designs after germination in a paddy field, 1 to 1000g of weeds can be effectively exterminated by processing 10 or 300g preferably as a 10a per active substance.

[090] Furthermore, when carrying out foliage treatment after soil treatment or germination in front of germination of weeds in Hataji, weeds can be effectively exterminated by processing 10 or 1 to 1000g 300g preferably as a 10a per active substance.

[091] The herbicide of this invention can be used mixing with other natural plant growth regulators, a fungicide, a pesticide, an acaricide, a nematocide, or manure.

[092] Although the work example and the example of a tablet of this



vention herbicide are shown below and being concretely explained to it, this invention is not restricted to these.

[0093]

[Example]

[0094]

Work example 1]

5-chloromethyl 5-methyl 3-(2-pyridyl methyl) \*\*\*\*- 2-iso oxazoline compound number 1.1) (A process)

) 3-chloro 5-chloromethyl 5-methyl 2-iso oxazoline (A-1 process)

3.2g of 2-hydroxy imino acetic acid and N-chloro succinimide 129.6g were dissolved in dimethoxyethane 400ml, and it heated and \*\*\*\*(ed) at 100 degrees C among the oil bath. The oil bath was removed 3 minutes afterward and it cooled radiationally to the room temperature. Methylaluminum chloride 48ml, 194.4g of potassium hydrogencarbonate, and 8ml of water were added to this solution in order, and it \*\*\*\*(ed) at the room temperature for 8 hours. After adding hexane to a reaction solution, reaction filtration was carried out using Celite. After distilling off the organic solvent of filtrate, silica gel column chromatography (hexane: ethyl acetate) refined, and 51.6g (64%) of mark compounds were obtained as an oily matter.

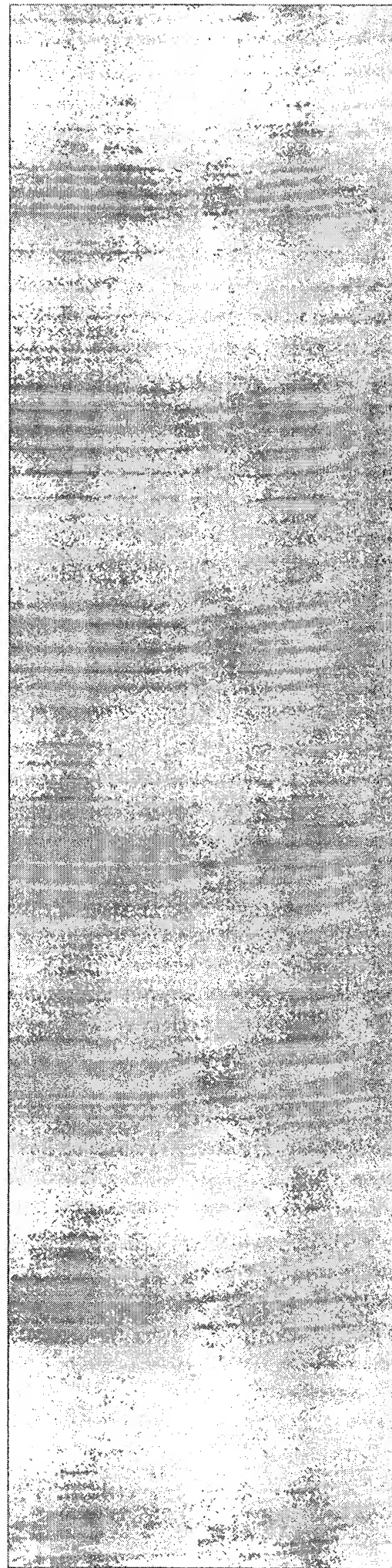
[0095] <sup>1</sup>H-NMR (CDCl<sub>3</sub>) delta: 3.57 (2H, Abq, J= 11.4, delta nu = 5.0Hz), 3.14 (2H, Abq, J= 17.5, delta nu = 76.4Hz) 1.59 (3H, s) ppm (2) 5-chloromethyl 5-methyl 3-(2-pyridyl methyl) \*\*\*\*- 2-iso oxazoline (A-2 process)

2-pyridyl methyl mercaptan 400.0mg was dissolved in tetrahydrofuran 1ml, 128.0mg of sodium hydride was added little by little 60% at the room temperature, and 3ml of N, N dimethylformamide was added further. Subsequently, the mixed solution (3-chloro 5-chloromethyl 5-methyl 2-iso oxazoline 179.8mg and tetrahydrofuran 2ml) obtained by (1) was added at the room temperature. After \*\*\*\*(ing) at a room temperature for 1 hour and 40 minutes, water was added to the reaction solution, ethyl acetate extracted, saturation saline solution washed the organic layer, and it dried with anhydrous sodium sulfate. It filtered, silica gel column chromatography (hexane: ethyl acetate) refined after distilling off a solvent, and 190.0mg (69.2%) of oily objects were obtained.

[0096] <sup>1</sup>H-NMR (CDCl<sub>3</sub>) delta: 8.57 (1H, dd, J= 5.1, 0.9Hz), 7.66 (1H, t, J= 7.7, 0.8Hz), 7.42 (1H, d, J= 7.8Hz), 7.23-7.16 (1H, m), 4.38 (2H, s), 3.51 (2H, d, J= 2.4Hz), The compound manufactured according to the method of 36.04 (2H, ABq, J= 16.1, delta nu = 76.3Hz) and 1.53(3H, s) ppm work examples 1 is shown below.

[0097] In addition, hereafter, the number of the front in the parenthesis after a compound name shows the compound number in said tables 1 to 9, and shows a melting point (degree C) as "mp" behind that, or shows that it is an oily matter as "oil", and, finally shows a yield (%).

[0098] 5-chloromethyl 5-methyl 3-(3-pyridyl methyl) \*\*\*\*- 2-iso oxazoline (1. 3) oil and 49.21 H-NMR (CDCl<sub>3</sub>) delta: 8.63 (1H, d, J= 9Hz), 8.53 (1H, dd, J= 3.2, 1.7Hz), 7.74 (1H, dt, J= 7.0, 1.7Hz), 7.26 (1H, d, J= 12.6Hz), 4.24 (2H, s), 3.52 (2H, d, J= 2.1Hz), 2.99 (2H, ABq, J= 16.7, delta nu = 75.6Hz), 1.54(3H, s) ppm 5 - chloromethyl 3-furfuryl



\*\*\*- 5-methyl 2-iso oxazoline (3. 1, oil, 65.1) <sup>1</sup>H-NMR (CDCl<sub>3</sub>) delta: 3.6 (1H, s) -- 7.26 (2H, s), 4.29 (2H, s), 3.53 (2H, d, J= 2.4Hz), 3.01 (2H, Bq, J= 17.2, deltanu = 76.1Hz) and 1.54(3H, s) ppm  
 5-chloromethyl 3-(3-furil methyl) \*\*\*\*- 5-methyl 2-iso oxazoline (3. 15, oil, 19.9) 5 - chloromethyl 3-(2-methyl 3-furil) (methyl) \*\*\*\*- 5-methyl 2-iso oxazoline (3. 16) oil and 15.9 -- 5-chloromethyl 5-methyl 3-(2-TENIRU) \*\*\*- 2-iso oxazoline (4. 1, oil, 73.8) <sup>1</sup>H-NMR (CDCl<sub>3</sub>) delta: 7.24-7.21 H, m) -- 7.06-7.03 (1H, m), 6.96-6.91 (1H, m), 4.49 (2H, s), 3.53 (2H, Bq, J= 11.2, deltanu = 7.7Hz), 3.01 (2H, ABq, J= 16.6, deltanu = 5.6Hz), 1.55 (3H, s) ppm are 5-chloromethyl 3-(3, 5-dimethyl 4-iso azolyl) (methyl) \*\*\*\*- 5-methyl 2-iso oxazoline (5. 18, oil, 40.9) <sup>1</sup>H-NMR (CDCl<sub>3</sub>). delta: 4.00 (2H, s), 3.53 (2H, ABq, J= 11.3, deltanu = 3Hz), 2.99 (2H, ABq, J= 16.8, deltanu = 75.8Hz), 2.39 (3H, s), 2.29 (3H, s), a 1.55(3H, s) ppm  
 5-clo Lome \*\*\*\*- 3-(3-methoxy 5-methyl 4-iso azolyl) (methyl) \*\*\*\*- 5-methyl 2-iso oxazoline (5. 22) mp69-73 and 3.01 H-NMR (CDCl<sub>3</sub>) delta: 3.99 (3H, s), 3.93 (2H, s), 3.52 (2H, ABq, J= 11.2, deltanu = 7.8Hz), 2.99 (2H, ABq, J= 16.7, deltanu = 75.2Hz), 3.5 (3H, s), 1.54 (3H, s) ppm are 5-chloromethyl 5-methyl 3-(2-methyl 4-iazolyl) (methyl) \*\*\*\*- 2-iso oxazoline (6. 14, oil, 19.5) <sup>1</sup>H-NMR (CDCl<sub>3</sub>). delta: 7.09 (1H, s), 4.32 (2H, s), 3.51 (2H, ABq, J= 11.2, deltanu = 7.8Hz), 3.01 (2H, ABq, J= 16.7, deltanu = 75.5Hz), 2.69 (3H, s) and 1.52 (3H, s) ppm -- 5-chloromethyl 5-methyl 3-(5-thiazolyl methyl) \*\*\*\*- 2-iso oxazoline (6. 25, mp120-121, 7.7) <sup>1</sup>H-NMR (CDCl<sub>3</sub>) delta: 8.73 H, s), 7.83 (1H, s), 4.51 (2H, s), 3.54 (2H, ABq, J= 11.5, deltanu = 4Hz), 3.01 (2H, ABq, J= 16.8, deltanu = 76.1Hz), 1.56 (3H, s) ppm  
 5-chloromethyl 5-methyl 3-(4-methyl 5- (1, 2, 3-thiadiazolyl)) (methyl) \*\*\*- 2-iso oxazoline (7. 10, oil, 22.4) <sup>1</sup>H-NMR (CDCl<sub>3</sub>) delta: 4.45 H, d, J= 1.4Hz), 3.53 (2H, ABq, J= 11.4, deltanu = 6.8Hz), 3.00 (2H, Bq, J= 16.8, deltanu = 77.7Hz), 2.70 (3H, s), 1.54 (3H, s) ppm are 3-(2-benzothiazolyl methyl) \*\*\*\*- 5-chloromethyl 5-methyl 2-iso oxazoline (8. oil, 19.3) <sup>1</sup>H-NMR (CDCl<sub>3</sub>). delta: 8.0 (1H, d, J= 7.6Hz), 7.87(1H, d, J= 7.6Hz), 7.52-7.39(2H, m), 4.68(2H, s), 3.54 (2H, ABq, J= 11.2, deltanu=9.8Hz), 3.08 (2H, ABq, J= 16.9, deltanu = 78.9Hz), 1.56 (3H, s) ppm are 3-(2-benzofuranyl methyl) \*\*\*\*- 5-chloromethyl 5-methyl 2-iso oxazoline (9. 1, oil, 52.5) <sup>1</sup>H-NMR (CDCl<sub>3</sub>). delta: 7.55-7.43 (2H, m), 7.31-7.21 (2H, m), 6.71 (1H, s), 4.41 (2H, d, J= 1.0Hz), 3.53 (2H, d, J= 8Hz), 3.03 (2H, ABq, J= 16.8, deltanu = 77.2Hz), 1.55 (3H, s) ppm  
 [099]

#### Work example 2]

5-chloromethyl 5-methyl 3-(2-pyridyl methyl) sulfonyl 2-iso oxazoline compound number 1.2) (C-1, C-2 process)

9.1mg of m-chloro perbenzoic acid was added at the room temperature, dissolving and \*\*\*\*(ing) 5-chloromethyl 5-methyl 3-(2-pyridyl methyl)

\*\*\*- 2-iso oxazoline (compound number 1.1) 145.9mg manufactured by the method of the work example 1 to 1 and 2-dichloroethane 5ml.

Furthermore, after \*\*\*\*(ing) at a room temperature for 2 hours, the duration sodium sulfite aqueous solution was added to reaction mixture, the methylene chloride extracted, and the organic layer was washed in the sodium bicarbonate aqueous solution. After drying with anhydrous sodium



sulfate, it filtered, silica gel column chromatography (hexane: ethyl acetate) refined after distilling off a solvent, and 29.6mg (18.0%) of objects which have a 116 to 117 degree C melting point were obtained. At this time, it is 2-(3- (5-chloromethyl 5-methyl 2-iso oxazolinyl)) sulfonyl ethylpyridine simultaneously. N-oxide (compound number 2.1) 85.7mg (9.5%) was obtained as a crystal which has a 147 to 149 degree C melting point.

[100] 5-chloromethyl 5-methyl 3-(2-pyridyl methyl) sulfonyl 2-iso oxazoline Compound number 1.21 H-NMR (CDCl<sub>3</sub>) delta: 8.62 (1H, d, J= 9Hz), 7.84-7.73 (1H, m), 7.51 (1H, d, J= 7.8Hz), 7.34 (1H, q, J= 7.7Hz), 7.13 (2H, s), 3.61 (2H, ABq, J= 11.4,  $\Delta\nu$  = 20.0Hz), 3.20 (2H, ABq, J= 17.6,  $\Delta\nu$  = 77.0Hz), 1.60(3H, s) ppm2-(3- (5-chloromethyl 5-methyl 2-iso oxazolinyl)) sulfonyl methylpyridine N-oxide (compound number 2.1) 1 H-NMR (CDCl<sub>3</sub>) delta: 8.25-8.21 (1H, m), 7.62-7.57 (1H, m), 7.36-7.31 (2H, m), It manufactures according to the method of 4.92 (1H, s), 3.71 (2H, ABq, J= 11.3,  $\Delta\nu$  = 40.9Hz), 3.43 (2H, ABq, J= 17.4,  $\Delta\nu$  = 67.0Hz), and 1.66(3H, s) ppm work examples 2. \*\*\*\*\* shown below.

[101] 5-chloromethyl 5-methyl 3-(3-pyridyl methyl) sulfonyl 2-iso oxazoline (1. 4) mp105-107 and 10.61 H-NMR (CDCl<sub>3</sub>) delta: 8.66 (2H, d, J= 1.7,  $\Delta\nu$  = 10.1Hz), 7.83-7.79 (1H, m), 7.39-7.26 (1H, m), 4.63 (2H, s), 3.55 (2H, ABq, J= 17.7,  $\Delta\nu$  = 81.8Hz), 1.55 (3H, s) ppm5 - chloromethyl 3-furfuryl sulfonyl 5-methyl 2-iso oxazoline (3. 8, oil, 53.3) 1 H-NMR (CDCl<sub>3</sub>) delta: 7.52-7.49 (1H, m) and 6.60-6.55 (1H, m) -- 6.46-6.44 (1H, m), 4.69 (2H, s), 3.57 (2H, d, J= 3.5Hz), 3.12 (2H, ABq, J= 17.6,  $\Delta\nu$  = 82.9Hz) and 1.58(3H, s) ppm5-chloromethyl 3-(3-furyl methyl) sulfonyl 5-methyl 2-iso oxazoline (3. 22, mp77-78, 7) 8) 1 H-NMR (CDCl<sub>3</sub>) delta: 7.55 (1H, s), 7.47 (1H, d, J= 1.6Hz), 6.54 (1H, d, J= 1.4Hz), 4.48 (2H, s), 3.55 (2H, ABq, J= 11.6,  $\Delta\nu$  = 8.5Hz), 3.17 (2H, ABq, J= 17.7,  $\Delta\nu$  = 81.0Hz), [ 1.56(3H, s) ppm5-chloromethyl 3-(2-methyl 3-furyl) (methyl) sulfonyl 5-methyl 2-iso oxazoline (3. 23, mp100-103, 45.2) 1 H-NMR (CDCl<sub>3</sub>) ] delta: 7.32 (1H, d, J= 1.8Hz), 6.43 (1H, d, J= 2.1Hz), 4.39 (2H, s), 3.55 (2H, ABq, J= 17.7,  $\Delta\nu$  = 7.9Hz), 3.11 (2H, ABq, J= 17.9,  $\Delta\nu$  = 84.4Hz), 2.32 (3H, s) and 1.56 (3H, s) ppm -- 5-chloromethyl 5-methyl 3-(2-TENIRU) sulfonyl 2-iso oxazoline (4. 8, mp76-78, 88.2) 1 H-NMR (CDCl<sub>3</sub>) delta : 7.41(1H, d, J=5.1Hz), 7.15(1H, d, J=3.7Hz), 7.09-7.05 (1H, m), 4.82 (2H, s), 3.52 (2H, t, J= 12.3Hz), 3.07 (2H, ABq, J= 17.9,  $\Delta\nu$  = 84.2Hz), 1.54 (3H, s) ppm 5-chloromethyl 3-(3, 5-dimethyl 4-iso oxazolyl) (methyl) sulfonyl 5-methyl 2-iso oxazoline (5. 29, mp142-144, 87.7) 1 H-NMR (CDCl<sub>3</sub>) delta: 4.38 (2H, s), 3.61 (2H, ABq, J= 11.8,  $\Delta\nu$  = 14.1Hz), 3.27 (2H, ABq, J= 17.8,  $\Delta\nu$  = 85.7Hz), 2.45 (3H, s), 2.33 (3H, s), 1.60 (3H, s) ppm 5-chloromethyl 3-(3-methoxy 5-methyl 4-iso oxazolyl) (methyl) sulfonyl 5-methyl 2-iso oxazoline (5. 33, mp114-115, 79.9) 1 H-NMR (CDCl<sub>3</sub>) delta 4.30 (2H, s), 4.01 (3H, s) : 3.59 (2H, d, J= 3.5Hz), 3.26 (2H, ABq, J= 17.7,  $\Delta\nu$  = 85.9Hz), 2.43 (3H, s), 1.60 (3H, s) ppm 5-chloromethyl 5-methyl 3-(2-methyl 4-thiazolyl) (methyl) sulfonyl 2-iso oxazoline (6. 20, mp108-111, 34.6) 1 H-NMR (CDCl<sub>3</sub>). delta: 7.33 (1H, s), 4.72 (2H, s), 3.61 (2H, ABq, J= 11.4,  $\Delta\nu$  = 16.0Hz), 3.22 (2H,



Bq,  $J = 17.6$ ,  $\delta_{\text{H}} = 74.5\text{Hz}$ ), 2.71 (3H, s) and 1.61 (3H, s) ppm -- 5-chloromethyl 5-methyl 3-(5-thiazolyl methyl) sulfonyl 2-iso oxazoline (6. 1, oil, 48.1)  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 8.89 (1H, s) -- 7.94 (1H, s), 4.89 (1H, s), 3.56 (2H, ABq,  $J = 11.9$ ,  $\delta_{\text{H}} = 10.8\text{Hz}$ ), 3.19 (2H, ABq,  $J = 7.8$ ,  $\delta_{\text{H}} = 82.5\text{Hz}$ ), 1.56 (3H, s) ppm 5-chloromethyl 5-methyl 3-(4-ethyl 5-(1, 2, 3-thiadiazolyl)) (methyl) sulfonyl 2-iso oxazoline (7. 13, p93-95) 83.1)  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 4.94 (2H, s), 3.59 (2H, ABq,  $J = 1.9$ ,  $\delta_{\text{H}} = 14.3\text{Hz}$ ), 3.24 (2H, ABq,  $J = 17.8$ ,  $\delta_{\text{H}} = 83.1\text{Hz}$ ), 2.78 (1H, s), 1.58 (3H, s) ppm -- 3-(2-benzothiazolyl methyl) sulfonyl 5-chloromethyl 5-methyl 2-iso oxazoline (8. 14, mp136-139, 23.9)  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 8.07 (1H, d,  $J = 7.6\text{Hz}$ ), 7.93 (1H, dd,  $J = 6.8$ ,  $1.6\text{Hz}$ ), 5.8-7.46 (2H, m), 5.07 (2H, s), 3.61 (2H, ABq,  $J = 11.7$ ,  $\delta_{\text{H}} = 1.4\text{Hz}$ ), 3.24 (2H, ABq,  $J = 17.9$ ,  $\delta_{\text{H}} = 84.4\text{Hz}$ ), 1.61 (3H, s) ppm 3-(2-benzofuranyl methyl) sulfonyl 5-chloro Methyl 5-methyl 2-iso oxazoline (9. 17) mp108-110 and 48.81  $^1\text{H-NMR}$  ( $\text{CDCl}_3$ )  $\delta$ : 7.62-7.48 (2H, m), 7.35-7.26 (2H, m), 6.95 (1H, s), 4.83 (2H, s), 3.57 (2H, ABq,  $J = 11.6$ ,  $\delta_{\text{H}} = 11.6\text{Hz}$ ), 3.17 (2H, ABq,  $J = 17.8$ ,  $\delta_{\text{H}} = 86.0\text{Hz}$ ), 1.57 (3H, s) ppm [0102]

Example(s) of Production]

[0103]

The example 1 of a tablet]

Wettable powder) Pulverization mixture was improved 25% of the compound of the compound number of No. 5.33, 2.5% of the sodium dodecylbenzenesulfonate salt, 2.5% of lignin-sulfonic-acid calcium salt, and 70% of kieselguhr, and wettable powder was obtained.

[0104]

The example 2 of a tablet]

Emulsion) 30% of the compound of the compound number of No. 3.8, 68% of dodecylbenzenesulfonic acid calcium salt, and polyoxyethylene-octyl-ether 4.92%, 0.4% of polyoxyethylene nonylphenyl ether calcium phosphate salt and xylene 62% was mixed well, and the emulsion was obtained.

[0105]

The example 3 of a tablet]

Pellet) After having improved 5% of lignin-sulfonic-acid calcium salt, and bentonite 20%, and Clay 69% pulverization mixture, adding water and reading together 5% of compound [ of the compound number of No. 29 ], and white carbon 1%, granulation dryness was carried out and the pellet was obtained.

[0106]

The example 4 of a tablet]

100% of the compound of the compound number of No. 1.4, 1.25% of special polycarboxylic acid polymerization thing sodium salt, (Hydration granulation) 3.75% of water, 3% of sodium dodecylbenzenesulfonate salt, and dextrin 7% and 5% of titanium oxide are mixed, subsequently an air mill grinds, and water is sprayed [ be / it / under / rotation mixer or fluid bed mixer / adding ]. It was made to granulate. When most was set to 1.0 to 0.15 mm, granulation was taken out, and it applied to the sieve after dryness. The substance of oversize was ground and granulation of 1.0 to

15 mm was obtained.

[107]

The example 5 of a tablet]

5 copies of compounds of the compound number of No. 1.2, 0.7 copy of sodium dioctyl sulfosuccinate, (Aqueous suspension) Until solid particles decrease 0.15 copy of propylene glycol, ten copies of lignin-sulfonic-acid calcium salt, 44.15 copies of water, and ten copies of propylene glycol in diameter of 5 microns or less It ground together in the ball mill, the sand mill, or the roller mill. Ten copies of xanthan gum aqueous solutions were added to 90 copies of this pulverization slurry 0.05% (W/W), it mixed, and aqueous suspension was obtained.

[108]

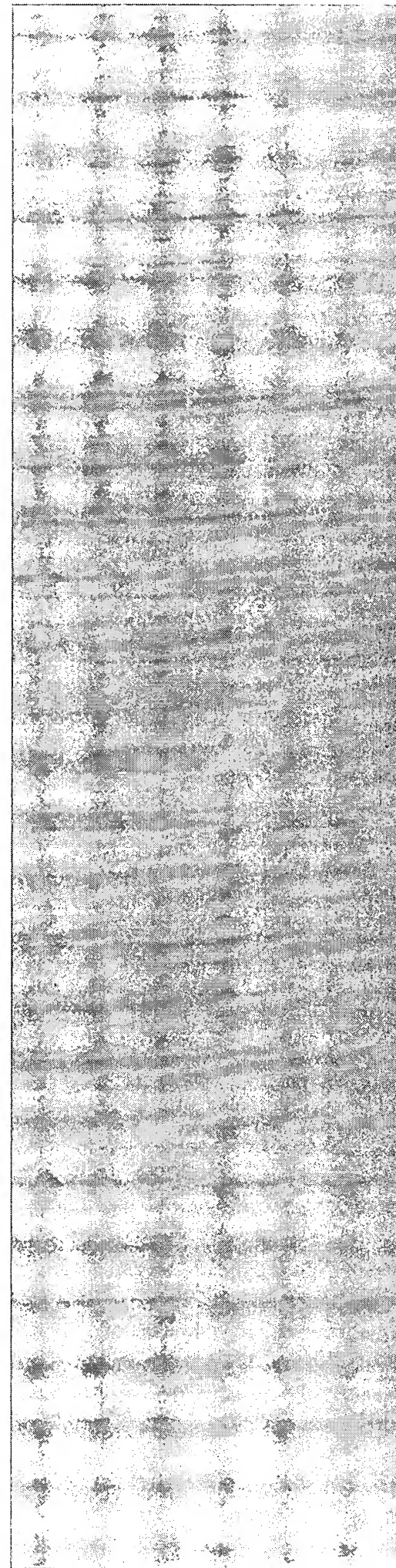
Effect of the Invention] As opposed to tie NUBIE whose compounds of this invention are the strong damage weeds of a paddy field especially in a paddy field The weeding-out activity excellent in the low dose is shown, and there are very few medicals harm over paddy rice, and they have a strong herbicidal action also to cyperaceous weeds, such as broad leaf weeds, such as Monochoria vaginalis, AZENA, ABUNOME, and KIKASHIGUSA, and HOTARUI, Ms. GAYATSURI.

[109] Furthermore, receive gramineous weeds, such as a crabgrass, a barn grass, and a foxtail, also in Hataji. The weeding-out activity excellent in the low dose is shown, and there are very few medicals harm over corn, bean, soybeans, and cotton, and they have a strong herbicidal action also to broad leaf weeds, such as INUBIYU, goose foot, a cress, and OGEITOU.

[110] The compound (I) of this invention has a herbicidal action, and it can be used for it as an herbicide. It is stronger for the operation to receive [rather than ] a monocotyledonous plant to a dicotyledonous plant generally. For example, it is carrying out ponding soil treatment of the germination front stirrup of weeds after germination in a paddy field, gramineous weeds which are the strong weeds of a paddy field, such as tie NUBIE, a HIMETA barn grass, and cay NUBIE, are exterminated especially powerfully. Moreover, motorcycle a pine with prevention of the weeding and extermination difficult in the conventional herbicide, HOTARUI, clo GUWAI, Alismataceae perennial weeds, such as cyperaceae perennial weeds, such as Ms. GAYATSURI, and URIKAWA, and OMODAKA, are also exterminable. Furthermore, the broad leaf weed Pontederiaceae weeds, such as Lythraceae weeds, such as Trophulariaceae weeds, such as AZENA, KIKASHIGUSA, IMEMISOHAGI, and Ms. MATSUBA, Monochoria vaginalis, and a [s. holly hock, is also effectively exterminable.

[111] On the other hand to paddy rice, there is an advantage that selectivity is large, transplant paddy rice does not receive a medical harm and its processing application width is large.

[112] moreover, the thing done for soil treatment before germination of weeds in Hataji -- or the thing done for foliage treatment after germination, Although Solanaceae weeds, such as Amaranthaceae weeds, such as Brassicaceae weeds, such as Chenopodiaceae weeds, such as goose foot which is Hataji's strong weeds, a lamb's-quarter, and



OAKAZA, and a cress, INUBIYU, AOGEITOU, and INOKOZUCHI, and black nightshade, etc. are effectively exterminable Especially JUBIYU, a crabgrass, KOMEHISHIBA, a foxtail, an AKINO foxtail, being able to exterminate very powerfully cyperaceous weeds, such as amineous weeds, such as SEIBAMMOTOKOSHI and OOKUSAKIBI, and a yellow purple nutsedge, on the other hand, crops, such as corn, a oat, cotton, and soybeans, do not receive a medical harm.

[113] Next, the example of a bioassay is given and the effect is shown concretely.

[114]

Test Example(s)]

[115]

The example 1 of an examination]

100cm<sup>2</sup> of lowland weed germination pretreatments The pot was filled up with paddy soil and it mixed with the seed of tie NUBIE which carried out dormancy awakening, and HOTARUI at 1cm of surfaces. Moreover, the seedling of the paddy rice of two leaf stages was transplanted, and it ranged into the ponding state, and was made to raise in a hothouse. Ponding soil treatment of the predetermined dose was carried out using the wettable powder prepared three days afterward according to the example 1 of a tablet, and it investigated in accordance with the acceptance criterion shown below 21 days afterward. The result was shown in Table 10.

[116] (Acceptance criterion)

Growth control rate 0- 10%1 : Growth control rate 11- 30%2 : Growth control rate 31- 50%3 : Growth control rate 51- 70%4 : Growth control rate 71- 90%5 : Growth control rate 91-100% [0117]

The example 2 of an examination]

By the same method as the example 1 of a tie NUBIE 1.5 leaf-stage processing examination, ponding soil treatment of the predetermined dose was carried out using the wettable powder prepared at 1.5 leaf stages of tie NUBIE according to the example 1 of a tablet, and it investigated 21 days afterward. The result was shown in Table 10 (an acceptance criterion is the same as the example 1 of an examination).

[118] In addition, in Table 2, there are the comparison compound 1, the comparison compound 2, the comparison compound 3, the comparison compound 4, a comparison compound 5, and a comparison compound 6, respectively with comparison 1, comparison 2, comparison 3, comparison 4, comparison 5, and comparison 6.

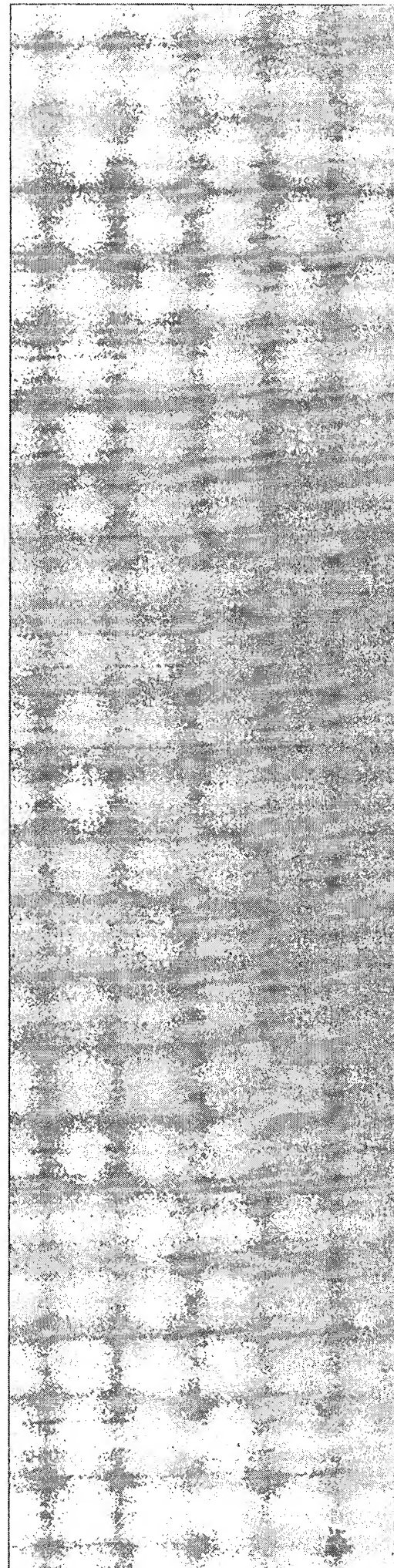
[119] The comparison compound 1 is (5-chloromethyl 3-phenyl sulfonyl 2-iso oxazoline), and is a compound Heterocycles, the 22nd volume, No. 2187, and given in the 2187th page (1984).

[120] The comparison compound 2 is (3-benzyl 5-cyano 5-methyl 2-iso oxazoline), and is a compound given in JP,H5-105672,A.

[121] The comparison compound 3 is (5-cyano 5-methyl 3-(3-fluoromethylbenzyl) sulfonyl 2-iso oxazoline), and is a compound given in JP,H5-105672,A.

[122] The comparison compound 4 is (3-(3-pyridyl) 5-cyano 2-iso oxazoline), and is a compound given in JP,H5-105672,A.

[123] The comparison compound 5 is (3-(2-pyridyl) 5-cyano 2-iso





oxazoline), and is a compound given in JP,H5-105672,A.

[124] The comparison compound 6 is (3-(2-pyridyl) sulfonyl 5-cyano 2-oxazoline), and is a compound given in JP,H5-105672,A.

[125] It is not indicated at all in the above-mentioned literature that these comparison compound has weeding-out activity.

[126]

Table 10]

----- compound Dose Lowland weed germination  
pretreatment Tie NUBIE 1.5 leaf-stage processing Number (g/a) TAINU  
Jota Paddy rice TAINU Jota Paddy rice Vier Rui Vier Louis  
----- 1.255515501.4555054-2.155 5 0 5 5 0 3.8 5 5 4  
5 5 0 3.22 55545503.23 55514504.855545505.29 55545535.33  
5545546.20 55 5 2 5 5 0 6.31 5 5 4 0 5 4 0 7.13 55305508.155303309.17  
530440 comparison 1 5200000 comparison 2 5200100 comparison 3  
000 - - - Comparison 4 5 0 0 0 - - - Comparison 5 5 000 --- Comparison 6  
0 0 0 - - The inside of the - - ----- above-mentioned  
ble and "-" are un-examining. It is shown.

[127]

The example 3 of an examination]

1cm<sup>3</sup> of arviculture weeds germination pretreatments and a 4-cm-deep  
square-shaped pot were filled up with the KUREHA horticulture hilling,  
seeding of the seed of various sample offering weeds and crops was  
carried out, respectively, and it was covered with soil about 1cm. Spraying  
processing of the predetermined dose was uniformly carried out using the  
suspension prepared according to the example 2 of a tablet in these pots.  
The plant was grown for these pots in the greenhouse after processing. In  
accordance with the acceptance criterion which shows the medical harm  
over the weeding-out effect and crops to each weeds below, it investigated  
in the 21st after processing. The result was shown in Table 11.

[128] In addition, as for the inside of the following table, and BG, a barn  
grass (barnyardgrass) and CR are crabgrasses (crabgrass), SEIBAN  
pergum (johnsongrass) and PA show OOKUSAKIBI (panicum), LA  
shows a lamb's-quarter (lambquarters), and, as for FO, PI shows  
OGEITOU (pigweed), respectively, as for a foxtail (foxtail) and JO.

[129] (Acceptance criterion)

Growth control rate 0- 9%1 : growth control rate 10-19%2: Growth  
control rate 20-29%3: Growth control rate 30-39%4: Growth control rate  
40-49%5: Growth control rate 50-59%6: Growth control rate 60-69%7:  
growth control rate 70-79%8: Growth control rate 80-89%9: Growth  
control rate 90-99%10: Growth control rate 100% [0130]

Table 11]

----- compound dose BG CR FO JO PA LA PI  
OUMORO Cotton Soybean number (kg/ha) Chewiness  
----- 1.2 2.0 8 9 - 7 10 9 100 0 0 1.4 2:0 10 10 10 10 10  
92 0 2 3.8 2.0 10 10 10 10 10 2 93 0 0 3.23 2.0 10 10 - 9 10 0 70 0 0 4.8  
0 8 7 9 9 10 10 100 0 0 5.29 2.0 10 10 - 10 10 10 10 0 0 0 5.33 2.0 7 7 -  
10 10 100 0 0 6.20 2.0 10 10 - 10 10 9 100 0 0 6.31 2.0 10 9 10 9 10 10  
00 0 0 9.17 2.0 10 10 10 10 10 9 9 0 0 Inside of the 0 -----  
----- Above-mentioned Table and "-" are Un-Examining. It is shown.



131]

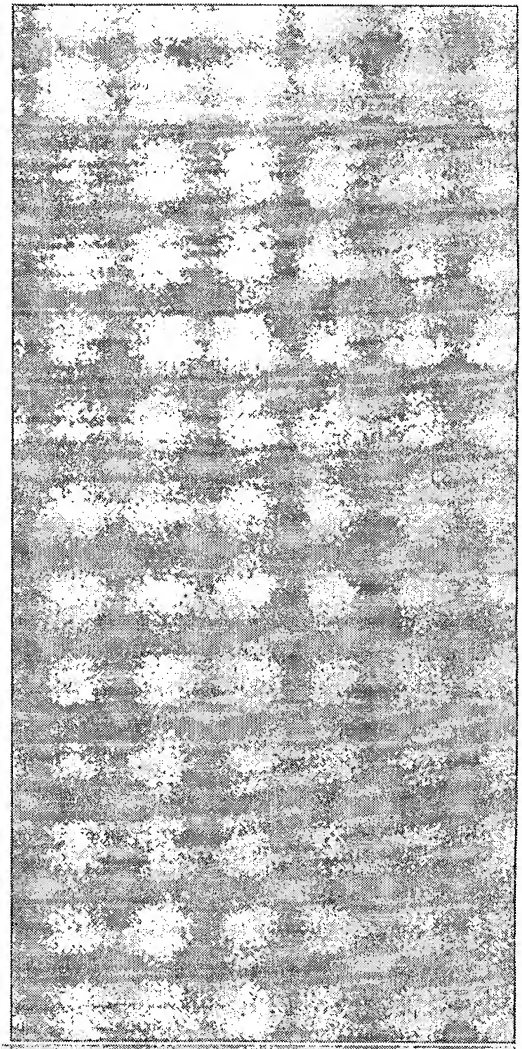
The example 4 of an examination]  
cm3 of ariculture foliage treatment and a 4-cm-deep square-shaped pot  
ere filled up with the KUREHA horticulture hilling, seeding of the seed  
various sample offering weeds and crops was carried out, respectively,  
id it was covered with soil about 1cm. The plant was grown for these  
ots in the greenhouse. When each plant reached at two to 3 leaf stage  
fter-seeding ten days), spraying processing of the predetermined dose  
as uniformly carried out at the leave and stem using the emulsion  
epared in these pots according to the example 2 of a tablet. The medical  
rm over the weeding-out effect and crops to each weeds was  
vestigated after processing on the 14th. The result was shown in Table  
2 (an acceptance criterion and a cable address are the same as the  
ample 3 of an examination).

132]

Table 12]

-----	-----	compound	Dose	FO	JO	PA	PI	TOUMORO
otton	Soybean	number (kg/ha)	Chewiness	-----	3.8	2.0		
09	710	021	-----					

translation done.]



Report Mistranslation

Japanese (whole document in PDF)